

**REMARKS**

This is in response to the Office Action that was mailed on February 27, 2002. The claims have been amended in view of the requirement for restriction. The amendments to claims 1 and 6 delete non-elected subject matter from those claims. Canceled claims 3 and 5 were directed to non-elected subject matter (it being noted that claim 3 did include the elected subject matter to which claim 4 is limited). The amendments to claims 2, 4, and 7-11 are formal in nature. New claim 12 is limited to the compound of Example 36. No new matter is introduced by this Amendment. Claims 1, 2, 4, and 6-12 are in the case.

**Restriction Requirement**

Regarding the requirement for restriction, Applicants confirm the election of Group I. The claims herein in their current form read on compounds wherein A is a benzene ring. The compounds embraced by the claims in their present form have a community of common characteristics. This community of common characteristics is not negated by the fact that some of the claims may embrace compounds in more than one subclass of the PTO classification system. Accordingly, the Examiner is respectfully requested to modify the requirement for restriction herein to be between (I.) the invention wherein ring A is a benzene ring and (II.) the invention wherein ring A is a pyridine ring.

In response to the requirement for an election of species, Applicants elect the species of Example 36, to which new claim 12 is limited. Claims 1, 2, 4, 6, and 12 read on the elected species.

**Abstract of the Disclosure**

A new Abstract of the Disclosure has been provided as required by the Examiner.

**Title**

A new title for the invention has been provided as required by the Examiner.

**Rejection Under 35 U.S.C. §112**

Claims 1-11 were rejected under the second paragraph of 35 USC 112 as failing to define the invention properly. The Examiner raised five issues indexed as A.) – E.):

A.) Applicants respectfully urge that those skilled in the art would have no difficulty in determining whether or not a particular compound is a “hydrate” within the meaning of the claims. Therefore Applicants’ use of this terminology cannot be said to fail to particularly point out and distinctly claim the subject matter which Applicants regard as their invention. There is no basis in the second paragraph of 35 USC 112 for requiring Applicants to indicate whether the claimed hydrates are mono-, di-, or sesqui-hydrates. (Incidentally, although this is not controlling, it is noted that the language in question was approved by the PTO in US 6,340,759.)

B.) Pursuant to a suggestion from the Examiner, and solely in order to facilitate prosecution herein, the term “preventing” has been deleted from the claims.

C.) The words of claim 8 have been separated as requested by the Examiner.

D.) The words of claim 11 have been separated as requested by the Examiner.

E.) Claims 7-10 have been amended to make it clear that they are all drawn to pharmaceutical compositions, with claim 7 being generic and each of claims 8-10 reciting particular types of pharmaceutical compositions.

**Rejection Under 35 U.S.C. §112**

Claims 8, 9, and 11 were rejected under the first paragraph of 35 USC 112. The Examiner thus acknowledges that the specification enables use of the compounds of claim 1 as muscle relaxants, but argues that the specification does not enable their use for treating diseases against which serotonin antagonism is efficacious, etc. However, enablement for one utility is sufficient – whether other disclosed utilities are enabled then becomes irrelevant. See MPEP 2164.01(c): “In other words, if any use is enabled when multiple uses are disclosed, the application is enabling for the claimed invention.”

In any case, the disputed utilities are in fact fully enabled by the present specification, which teaches that

It is reported in, for example, the following publications that compounds with a serotonin antagonism are usable as medicament[s] for **treating, ameliorating and preventing spastic paralysis** or central muscle relaxants for ameliorating myotonia:

- (1) Saishin Igaku Jiten, 3<sup>rd</sup> impression of 1<sup>st</sup> edition, p. 809  
“SEROTONIN”, Iyaku Shuppan

- (2) Stedman's Medical Dictionary, 24<sup>th</sup> edition, p. 1227  
"serotonin", Williams & Wilkins
- (3) Shinkei Shinpo, 37(3), 459-467, 1993.
- (4) Iyaku Journal, 30(8), 2030-2068, 1994.
- (5) DN & P, 5(8), 453-460, 1992.
- (6) Annals of Neurology, 30(4), 533-541, 1991.

(Emphasis supplied.) The treatment of spastic paralysis has also been long recognized by the PTO. See, for instance, the following U.S. patents: 6,087,357 (2000); 5,762,929 (1998); 5,116,877 (1992); 4,764,617 (1988); and 4,237,873 (1980).

Moreover, the specification herein reports the results of extensive relevant testing on the compounds of the invention. The testing procedures used are described, e.g., on pages 64-68 of the specification. On pages 68-82 of the specification, **actual binding to the serotonin (5HT)1A and serotonin (5HT)2 receptors is reported for the compounds of each of Examples 1, 3-5, 7, 9, 10, 12, 14-17, 19-36, 38-47, 50-100, 102, 104, 106, 108, 110, 111, 114, 122, 123, 125, 126, 128-166, 170-174, 176-179, 181-192, 194-220, 233, 234, 243-250, 253-270, 272, 273, 276-279, 281-292, 298-310, 317, 329, 331-333, 335-340, 342-371, 374-378, 380, 381, 383-391, 393-399, 401-410, 412, 414-427, and 430-467!!** This is just a portion of the enablement provided by the 882 pages which make up the present specification.

Clearly, claims 8, 9, and 11 satisfy the requirements of the statute. (Incidentally, although this is not controlling, it is noted that claims of similar scope, based upon the same disclosure, were approved by the PTO in US 6,340,759.)

**Conclusion**

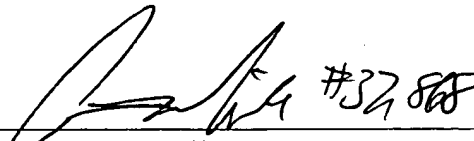
It is believed that a full and complete response has been made to the Office Action. Accordingly, the Examiner is respectfully requested to pass this application to Issue.

In the event that any issues remaining in this application require discussion, the Examiner is invited to contact Mr. Richard Gallagher, Registration No. 28,781, at (703) 205-8008.

If necessary, the Commissioner is hereby authorized in this, concurrent, and future replies, to charge payment or credit any overpayment to Deposit Account No. 02-2448 for any additional fees required under 37 C.F.R. §§1.16 or 1.17; particularly, extension of time fees.

Respectfully submitted,

BIRCH, STEWART, KOLASCH & BIRCH, LLP

By:  #32,881  
for John W. Bailey  
Reg. No. 32,881

JWB/RG

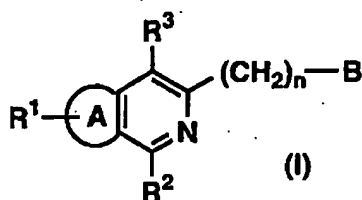
P. O. Box 747  
Falls Church, VA 22040-0747  
(703) 205-8000

**Enclosures:**

Abstract of the Disclosure;  
Marked Up Version of Claims Showing Amendments.

# ABSTRACT OF THE DISCLOSURE

Condensed pyridine compounds represented by formula (I):



wherein:  $R^1$  and  $R^3$  are, independently, hydrogen, halogen, lower alkyl, or lower alkoxy;  $R^2$  represents an amino substituent; ring A is a benzene ring, pyridine ring, thiophene ring, or furan ring; and B represents a substituent containing a ring structure. Also, pharmaceutically acceptable salt and hydrates thereof. These compounds are clinically useful medicaments having serotonin antagonism, and in particular, for treating, ameliorating, or preventing spastic paralysis. They are also useful as central muscle relaxants for ameliorating myotonia.



# MARKED UP COPY SHOWING CHANGES

## Claims

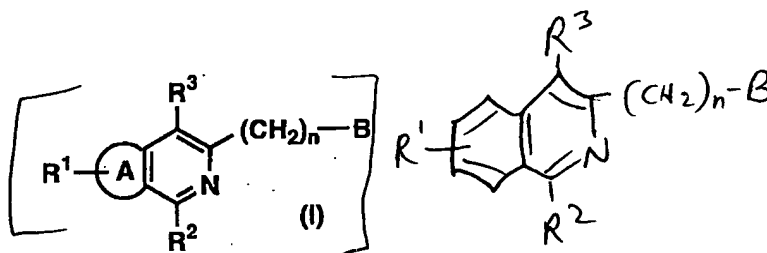
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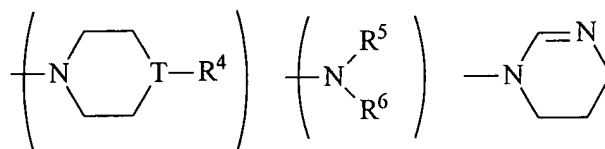
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AMENDED

1. A condensed pyridine compound [(I)] represented by the following formula, its pharmaceutically acceptable salt or hydrates thereof:



Wherein, [ring A represents benzene ring or pyridine ring];  
 $R^1$  represents a hydrogen atom, a halogen atom, a lower alkyl group or a lower alkoxy group;  
 $R^2$  represents a 4-morpholinyl group, a 1-imidazolyl group, a 1-lower alkyl homopiperazin-4-yl group or any one group selected from the groups represented by the following formulae:



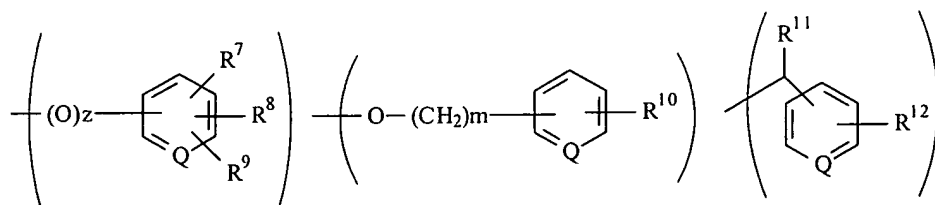
(wherein, T represents a nitrogen atom or a methine group;  
 $R^3$  represents a hydrogen atom, a halogen atom, a lower alkyl group or a lower alkoxy group;  
 $R^4$  represents a hydrogen atom, a lower alkyl group, a hydroxy lower alkyl group, a halogenated lower alkyl group, a lower cycloalkyl group, an aryl group, an aralkyl group, 1-piperidyl group, an alkenyl group, a cyano lower alkyl group, a carbamoyl lower alkyl group, a lower acyl group, an aromatic acyl group, a lower alkoxy

carbonyl group, an aryloxy carbonyl group or an aralkyloxy carbonyl group;

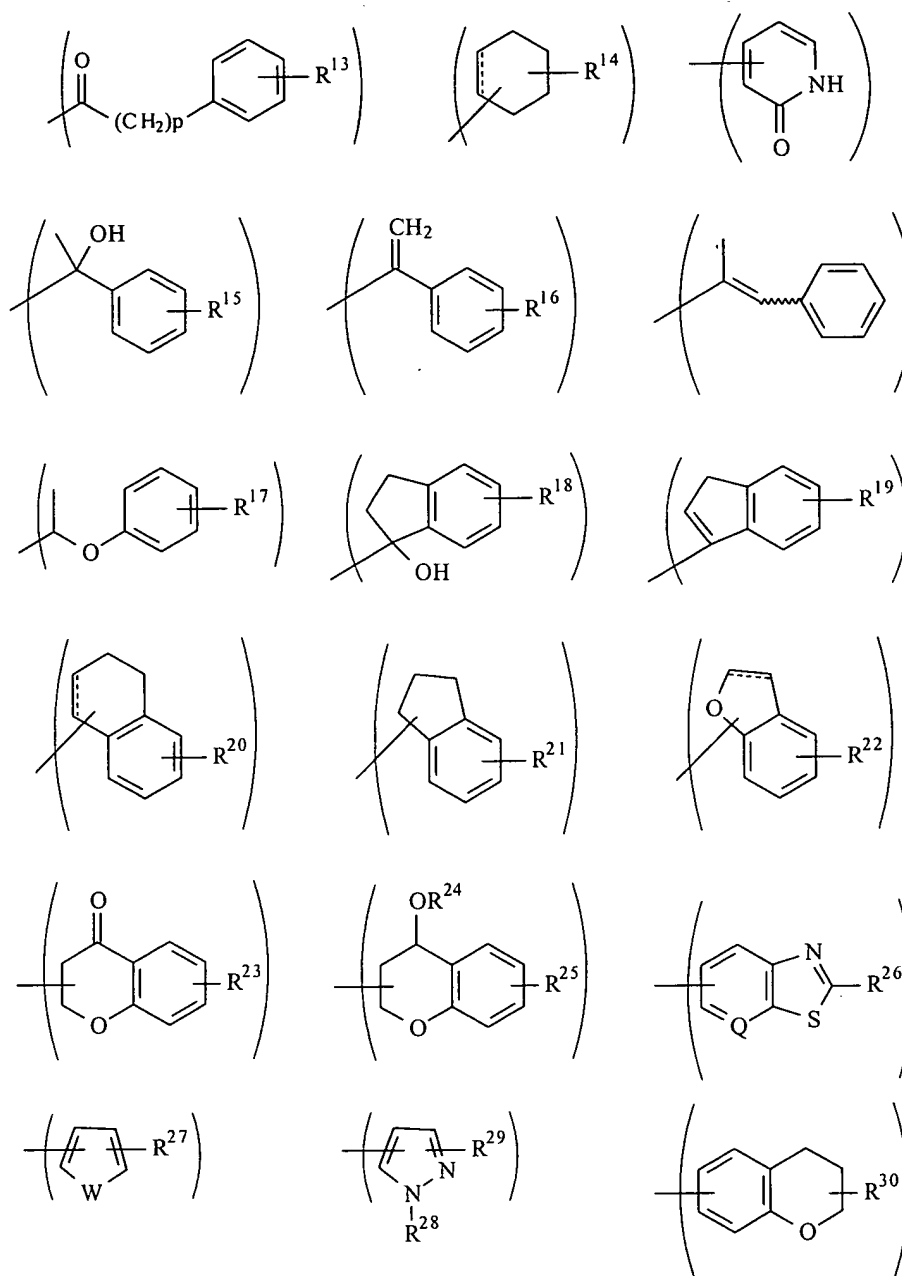
$R^5$  and  $R^6$  are the same as or different from each other and each represents <sup>2</sup>hydrogen atom, a lower alkyl group, a di lower alkyl aminoalkyl group, an optionally substituted heteroaryl lower alkyl group);

$n$  represents 0 or an integer of 1 to 6; and

$B$  represents an optionally substituted aryl group, an optionally substituted heteroaryl group, an optionally substituted aralkyloxy group, an aryl (hydroxy) alkyl group, an aromatic acyl amino group, an arylsulfonylamino group, a lower alkoxy arylsulfonylamino group, a hydroxy lower alkoxy styryl group, a lower alkoxy aryloxy group, 4-phenylpiperidin-1-yl group, 4-pyridylpiperidin-1-yl group, an optionally substituted arylalkenyl group, an optionally substituted arylalkynyl group, an optionally substituted heteroarylalkenyl group, an optionally substituted heteroarylalkynyl group, an aromatic acylalkynyl group, an optionally  $N$ -substituted amino lower alkyl group, an optionally substituted arylamino group, an optionally substituted aralkylamino group or <sup>28 group</sup> ~~any one~~ selected from the groups represented by the following formulae:







(wherein  $z$  represents 0 or 1;

$Q$  represents  $\overset{\text{O}}{\underset{\text{N}}{\text{C}}}$  nitrogen atom or  $\overset{\text{O}}{\underset{\text{N}}{\text{C}}}$  methine group;

$R^7$ ,  $R^8$  and  $R^9$  are the same as or different from each other and each represents  $\overset{\text{O}}{\underset{\text{N}}{\text{C}}}$  hydrogen atom,  $\overset{\text{O}}{\underset{\text{N}}{\text{C}}}$  halogen atom,  $\overset{\text{O}}{\underset{\text{N}}{\text{C}}}$  hydroxyl group, a lower alkyl group, a lower alkenyl group, a lower alkynyl group,

a lower alkoxyl group, a lower thioalkoxyl group, a hydroxy lower thioalkoxyl group, an arylthio group, a heteroarylthio group, a heteroaryl(hydroxy)alkyl group, a halogenated lower alkyl group, a hydroxy lower alkyl group, a dihydroxy lower alkyl group, a halogenated (hydroxy) lower alkyl group, a hydroxyalkenyl group, a hydroxyalkynyl group, a hydroxy lower cycloalkenyl group, a lower alkoxy(hydroxy)alkyl group, a lower alkoxy(hydroxy)alkoxy group, a lower alkoxyalkyl group, a lower alkoxyalkoxy group, a lower thioalkoxyalkoxy group, a lower alkylsulfonylalkoxy group, a hydroxy lower alkoxy group, a dihydroxy lower alkoxy group, a hydroxy lower alkylalkoxy group, a hydroxyimino lower alkyl group, a lower cycloalkyl (hydroxy) alkyl group, an aralkyl group, a hydroxyaralkyl group, cyano group, a cyano lower alkyl group, amide group, an N-lower alkylamide group, an N-lower cycloalkylamide group, an N,N-di lower alkylamide group, an N-hydroxy lower alkylamide group, an N-hydroxy lower alkyl-N-lower alkylamide group, an N-arylamide group, cyclic aminocarbonyl group, carbamoyl group, an N-lower alkyl carbamoyl group, an N,N-di lower alkyl carbamoyl group, aminosulfonyl group, cyclic aminosulfonyl group, an N-lower alkylaminosulfonyl group, an N-lower cycloalkylaminosulfonyl group, an N,N-di lower alkylaminosulfonyl group, an N-hydroxy lower alkylaminosulfonyl group, an N-lower alkoxyalkylaminosulfonyl group, an N-halogenated lower alkylsulfonyl group, pyrrolidinylsulfonyl group, a lower alkylsulfonylaminoalkyl

group, an N-lower alkylaminosulfonylalkyl group, an N,N-di lower alkylaminosulfonylalkyl group, a lower acyl group, a lower acylalkyl group, a lower cycloalkyl(hydroxy)methyl group, tetrahydropyranyl group, hydroxytetrahydropyranyl group, a hydroxy lower alkyltetrahydropyranyl group, a lower acylaminoalkyl group, (thiazol-2-yl)hydroxymethyl group, di(thiazol-2-yl)hydroxymethyl group, a lower alkylsulfonyl group, a lower alkoxyalkylsulfonyl group, a hydroxy lower alkylsulfonyl group, a lower alkylsulfonylalkyl group, an N-lower alkylamidealkyl group, an aryl group, an aralkyl group, a heteroaryl group, a heteroaryl lower alkyl group, a heteroaryl lower alkoxy group, a heteroarylsulfonyl group, 4-morpholinylsulfonyl group, 4-oxythiomorpholinylsulfonyl group, 4-dioxythiomorpholinylsulfonyl group, 4-morpholinylsulfonyl group, a hydroxy lower cycloalkyl group, a hydroxy lower cycloalkyloxy group, a hydroxycycloalkenyl group, a halogenatedhydroxy lower alkyl group, 4-hydroxypiperidyl group, a 4-lower alkoxypiperidyl group, an  $\omega,\omega$ -lower alkylenedioxyalkyl group, an  $\omega,\omega$ -lower alkylenedioxyalkoxy group, a lower cycloalkylhydroxymethyl group, an aryloxy group, an arylaminosulfonyl group, aminogroup, a lower alkylamino group, a di lower alkylamino group, a hydroxy lower alkylamino group, a lower acylamino group, a hydroxy lower acylamino group, a lower alkylsulfonylamino group, a pyridyl lower alkoxy group, a lower alkylpyridylalkoxy group, a lower alkoxyhydroxyalkoxy group, a lower thioalkoxyalkoxy group, a lower alkylsulfonylalkoxy

group, an N-lower alkylcarbamoyl group, an N,N-di lower alkylcarbamoyl group, an N-hydroxy lower alkylcarbamoyl group, an N-hydroxy lower alkyl-N-lower alkylcarbamoyl group, a halogenated lower alkoxy group, a cyano lower alkoxy group, a hydroxy lower cycloalkoxy group, trifluoromethyl group, trifluoromethoxy group, an amino lower alkoxy group, an N-lower alkyl aminoalkoxy group, an N,N-di lower alkylaminoalkoxy group, a lower acylalkoxy group, a lower acylaminoalkoxy group, a (1,3-dioxolanyl) lower alkyl group, a (1,3-dioxolanyl) lower alkoxy group, an amide lower alkoxy group, a 4-(hydroxyalkyl)tetrahydropyran-4-yl group, 2,3-dihydrobenzofuranyl group, a 2-hydroxy-2-alkyl-2,3-dihydrobenzofuranyl group, indanonyl group, hydroxyindanyl group, an imidazolyl lower alkoxy group, succinimide group or 2-oxazolidon-3-yl group; furthermore,  $R^7$  represents hydrogen atom, while  $R^8$  and  $R^9$  form cyclopentanone ring, hydroxycyclopentane ring, a hydroxyalkylcyclopentane ring, cyclohexanone ring, hydroxycyclohexane ring, a hydroxyalkylcyclohexane ring, 2-hydroxymethyl-2-methylcyclopentanone ring, 1,2-ethylenedioxy ring or methylenedioxy ring; m or p represents 0 or an integer of 1 to 6;  $R^{10}$ ,  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$ ,  $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ ,  $R^{19}$ ,  $R^{20}$ ,  $R^{21}$ ,  $R^{22}$ ,  $R^{23}$ ,  $R^{25}$ ,  $R^{27}$  and  $R^{29}$  independently represent <sup>2</sup>hydrogen atom, <sup>2</sup>halogen atom, <sup>4</sup>hydroxyl group, a lower alkyl group, a lower alkoxy group, a hydroxy lower alkyl group, a hydroxy lower alkoxy group or

tetrahydropyranyl group;

$R^{11}$  represents <sup>2</sup>hydrogen atom, <sup>2</sup>halogen atom, <sup>2</sup>hydroxy group, a lower alkyl group or a lower alkoxy group;

$R^{24}$  represents <sup>2</sup>hydrogen atom or a lower alkyl group;

$R^{26}$  represents <sup>2</sup>hydrogen atom or a hydroxy lower alkyl group;

$R^{28}$  represents <sup>2</sup>hydrogen atom or a lower alkyl group;

$R^{30}$  represents <sup>2</sup>hydrogen atom, a lower alkyl group, a lower alkoxy group, a hydroxy lower alkyl group or a hydroxy lower alkoxy group;

W represents sulfur atom or oxygen atom;

the bond represented by the following formula:



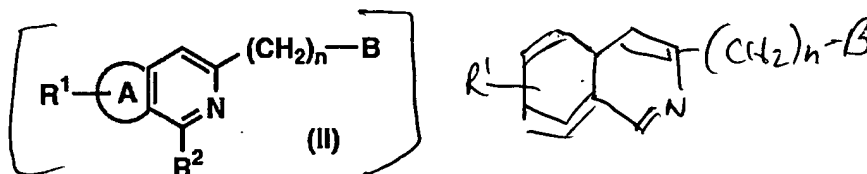
represents a single or double bond; and

the bond represented by the following formula:



represents trans or cis bond.).

2. <sup>AMEN 060</sup> A condensed pyridine compound [(II)] represented by the following formula, its pharmaceutically acceptable salt or hydrates thereof[ ]

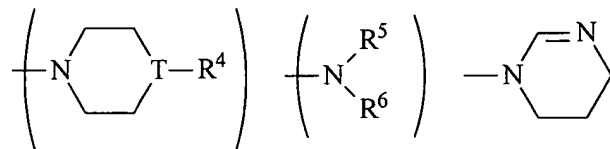


Wherein, [ring A represents benzene ring;]

$R^1$  represents <sup>2</sup>hydrogen atom, <sup>2</sup>halogen atom, a lower alkyl group or a lower alkoxy group;

$R^2$  represents <sup>2</sup>4-morpholinyl group, <sup>2</sup>1-imidazolyl group, a 1-lower

alkylhomopiperazin-4-yl group or <sup>2</sup>any one <sup>2</sup>selected from the groups represented by the following formulae:



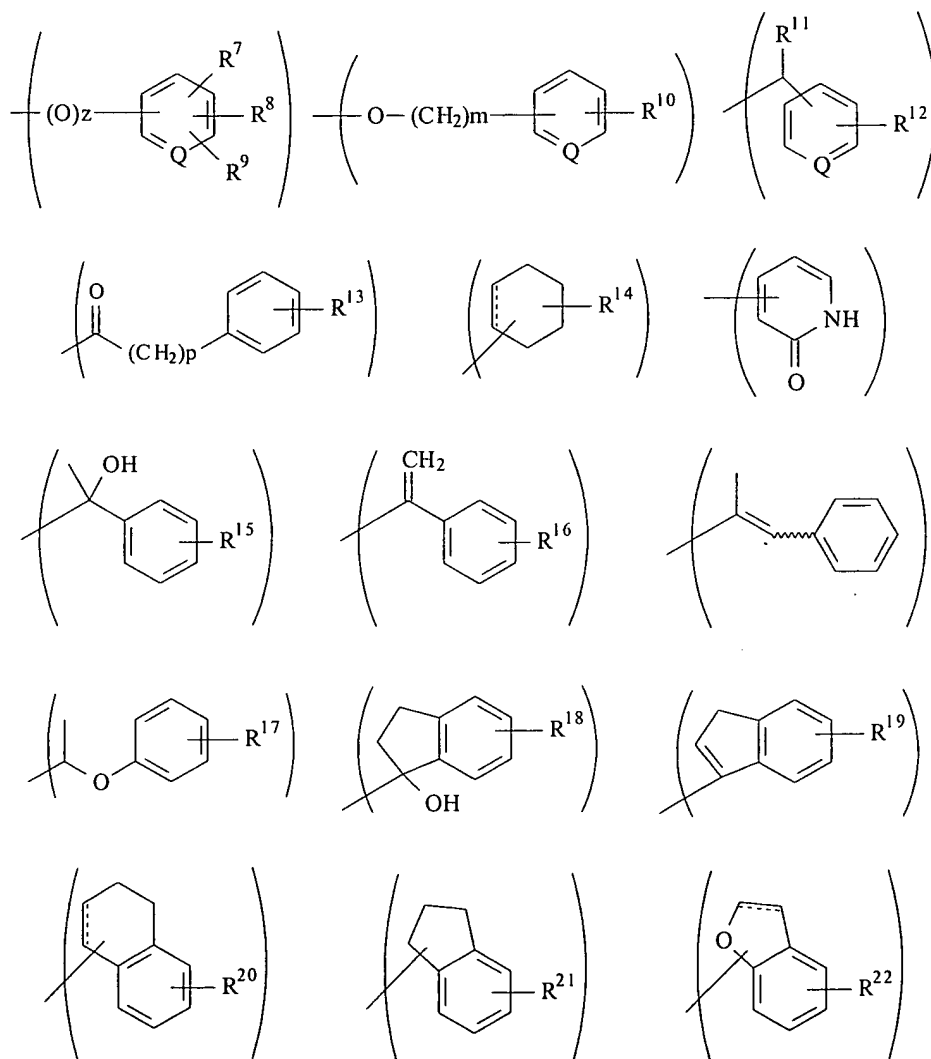
(wherein, T represents <sup>2</sup>nitrogen atom or <sup>2</sup>methine group;  
<sup>2</sup>R<sup>4</sup> represents <sup>2</sup>hydrogen atom, a lower alkyl group, a hydroxy lower alkyl group, a halogenated lower alkyl group, a lower cycloalkyl group, an aryl group, an aralkyl group, 1-piperidyl group, an alkenyl group, a cyano lower alkyl group, a carbamoyl lower alkyl group, a lower acyl group, an aromatic acyl group, a lower alkoxy carbonyl group, an aryloxycarbonyl group or an aralkyloxycarbonyl group; and

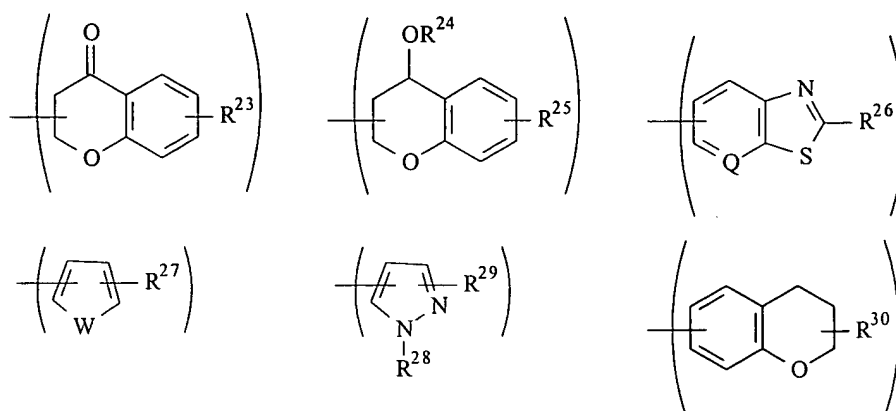
R<sup>5</sup> and R<sup>6</sup> are the same as or different from each other and each represents <sup>2</sup>hydrogen atom, a lower alkyl group, a di lower alkylaminoalkyl group, an optionally substituted heteroaryl lower alkyl group);

n represents 0 or an integer of 1 to 6; and

B represents an optionally substituted aryl group, an optionally substituted heteroaryl group, an optionally substituted aralkyloxy group, an aryl(hydroxy)alkyl group, an aromaticacylamino group, an arylsulfonylamino group, a lower alkoxyarylsulfonylamino group, a hydroxy lower alkoxy styryl group, a lower alkoxyaryloxy group, 4-phenylpiperidin-1-yl group, 4-pyridylpiperidin-1-yl group, an optionally substituted arylalkenyl group, an optionally substituted

arylalkynyl group, an optionally substituted heteroarylalkenyl group, an optionally substituted heteroarylalkynyl group, an aromaticacylalkynyl group, an optionally N-substituted amino lower alkyl group, an optionally substituted arylamino group, an optionally substituted aralkylamino group or <sup>2,15-2</sup>any one selected from the groups represented by the following formulae:





(wherein, z represents 0 or 1;

Q represents a nitrogen atom or a methine group;

$R^7$ ,  $R^8$  and  $R^9$  are the same as or different from each other and each represents a hydrogen atom, a halogen atom, a hydroxy group, a lower alkyl group, a lower alkenyl group, a lower alkynyl group, a lower alkoxy group, a lower thioalkoxy group, a hydroxy lower thioalkoxy group, an arylthio group, a heteroarylthio group, a heteroaryl(hydroxy)alkyl group, a halogenated lower alkyl group, a hydroxy lower alkyl group, a dihydroxy lower alkyl group, a halogenated(hydroxy) lower alkyl group, a hydroxyalkenyl group, a hydroxyalkynyl group, a hydroxy lower cycloalkenyl group, a lower alkoxy(hydroxy)alkyl group, a lower alkoxy(hydroxy)alkoxy group, a lower alkoxyalkyl group, a lower alkoxyalkoxy group, a lower thioalkoxyalkoxy group, a lower alkyl sulfonylalkoxy group, a hydroxy lower alkoxy group, a dihydroxy lower alkoxy group, a hydroxy lower alkylalkoxy group, a hydroxyimino lower alkyl group, a lower cycloalkyl (hydroxy)alkyl group, an aralkyl group, a hydroxyaralkyl group, cyano group, a cyano lower alkyl group, amide group, an N-lower



alkyl amide group, an N-lower cycloalkyl amide group, an N,N-di lower alkyl amide group, an N-hydroxy lower alkyl amide group, an N-hydroxy lower alkyl-N-lower alkyl amide group, an N-arylamide group, a cyclic aminocarbonyl group, carbamoyl group, an N-lower alkyl carbamoyl group, an N,N-di-lower alkyl carbamoyl group, aminosulfonyl group, a cyclic amino sulfonyl group, an N-lower alkyl aminosulfonyl group, an N-lower cycloalkylaminosulfonyl group, an N,N-di lower alkyl aminosulfonyl group, an N-hydroxy lower alkylaminosulfonyl group, an N-lower alkoxyalkylaminosulfonyl group, an N-halogenated lower alkylsulfonyl group, pyrrolidinylsulfonyl group, a lower alkylsulfonylaminoalkyl group, an N-lower alkylaminosulfonylalkyl group, an N,N-di lower alkylaminosulfonylalkyl group, a lower acyl group, a lower acylalkyl group, a lower cycloalkyl(hydroxy)methyl group, tetrahydropyranyl group, hydroxytetrahydropyranyl group, a hydroxy lower alkyltetrahydropyranyl group, a lower acylaminoalkyl group, (thiazol-2-yl)hydroxymethyl group, di(thiazol-2-yl)hydroxymethyl group, a lower alkylsulfonyl group, a lower alkoxyalkylsulfonyl group, a hydroxy lower alkyl sulfonyl group, a lower alkyl sulfonylalkyl group, an N-lower alkyl amidealkyl group, an aryl group, an aralkyl group, a heteroaryl group, a heteroaryl lower alkyl group, a heteroaryl lower alkoxy group, a heteroarylsulfonyl group, 4-morpholinylsulfonyl group, 4-oxythiomorpholinylsulfonyl group, 4-dioxythiomorpholinylsulfonyl group,

4-morpholinylsulfonyl group, a hydroxy lower cycloalkyl group, a hydroxy lower cycloalkyloxy group, a hydroxycycloalkenyl group, a halogenated hydroxy lower alkyl group, 4-hydroxypiperidyl group, a 4-lower alkoxy piperidyl group, an  $\omega,\omega$ -lower alkylene dioxyalkyl group, an  $\omega,\omega$ -lower alkylene dioxyalkoxy group, a lower cycloalkyl hydroxymethyl group, an aryloxy group, an arylaminosulfonyl group, amino group, a lower alkylamino group, a di lower alkylamino group, a hydroxy lower alkylamino group, a lower acylamino group, a hydroxy lower acylamino group, a lower alkylsulfonylamino group, a pyridyl lower alkoxy group, a lower alkylpyridylalkoxy group, a lower alkoxyhydroxyalkoxy group, a lower thioalkoxyalkoxy group, a lower alkylsulfonylalkoxy group, an N-lower alkylcarbamoyl group, an N,N-di lower alkylcarbamoyl group, an N-hydroxy lower alkylcarbamoyl group, an N-hydroxy lower alkyl-N-lower alkylcarbamoyl group, a halogenated lower alkoxy group, a cyano lower alkoxy group, a hydroxy lower cycloalkoxy group, trifluoromethyl group, trifluoromethoxy group, an amino lower alkoxy group, an N-lower alkyl aminoalkoxy group, an N,N-di lower alkylaminoalkoxy group, a lower acylalkoxy group, a lower acylaminoalkoxy group, a (1,3-dioxolanyl) lower alkyl group, a (1,3-dioxolanyl) lower alkoxy group, an amide lower alkoxy group, a 4-(hydroxyalkyl)tetrahydropyran-4-yl group, 2,3-dihydrobenzofuranyl group, a 2-hydroxy-2-alkyl-2,3-dihydrobenzofuranyl group, indanonyl group, hydroxyindanyl group, an imidazolyl lower alkoxy group,

succinimide group or 2-oxazolidon-3-yl group;  
 furthermore,  $R^7$  represents hydrogen atom, while  $R^8$  and  $R^9$  may  
 form cyclopentanone ring, hydroxycyclopentane ring, a  
 hydroxyalkylcyclopentane ring, cyclohexanone ring,  
 hydroxycyclohexane ring, a hydroxyalkylcyclohexane ring,  
 2-hydroxymethyl-2-methylcyclopentanone ring,  
 1,2-ethylenedioxy ring or methylenedioxy ring;  
 m or p represents 0 or an integer of 1 to 6;  
 $R^{10}$ ,  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$ ,  $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ ,  $R^{19}$ ,  $R^{20}$ ,  $R^{21}$ ,  $R^{22}$ ,  $R^{23}$ ,  $R^{25}$ ,  
 $R^{27}$  and  $R^{29}$  independently represent <sup>2</sup>hydrogen atom, <sup>2</sup>halogen atom,  
 hydroxygroup, a lower alkyl group, a lower alkoxy group, a hydroxy  
 lower alkyl group, a hydroxy lower alkoxy group or  
 tetrahydropyranyl group;  
 $R^{11}$  represents <sup>2</sup>hydrogen atom, <sup>2</sup>halogen atom, <sup>2</sup>hydroxy group, a  
 lower alkyl group or a lower alkoxy group;  
 $R^{24}$  represents <sup>2</sup>hydrogen atom or a lower alkyl group;  
 $R^{26}$  represents <sup>2</sup>hydrogen atom or a hydroxy lower alkyl group;  
 $R^{28}$  represents <sup>2</sup>hydrogen atom or a lower alkyl group;  
 $R^{30}$  represents <sup>2</sup>hydrogen atom, a lower alkyl group, a lower alkoxy  
 group, a hydroxy lower alkyl group or a hydroxy lower alkoxy  
 group;

W represents sulfur atom or oxygen atom;

the bond represented by the following formula:



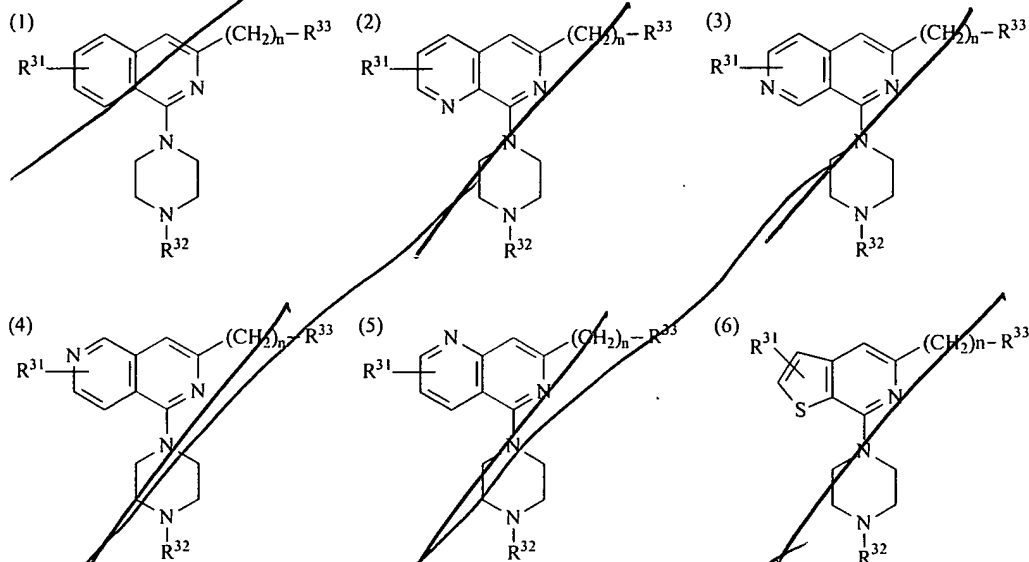
represents a single or double bond; and

the bond represented by the following formula:

represents trans or cis bond<sup>1</sup>).

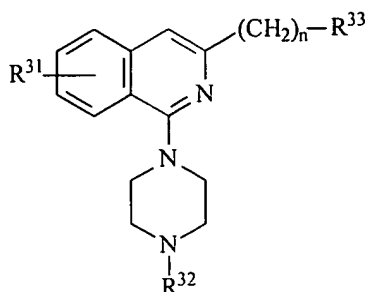
CANCEL

~~3. <sup>APPROVED</sup> The condensed pyridine compound as claimed in Claim 1, which is a compound selected from the compound represented by the following formulae:~~



(wherein R<sup>31</sup> has the same meaning as that of the above R<sup>1</sup>, R<sup>32</sup> has the same meaning as that of the above R<sup>2</sup> and R<sup>33</sup> has the same meanings as that of the above B, respectively), its pharmacologically acceptable salt or hydrates thereof.

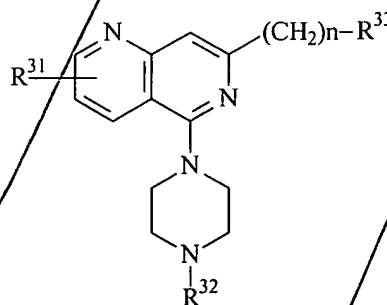
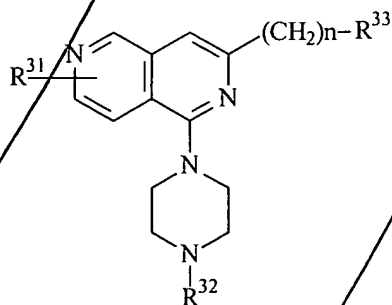
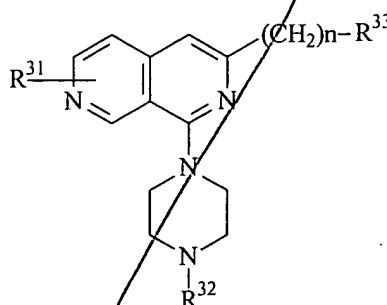
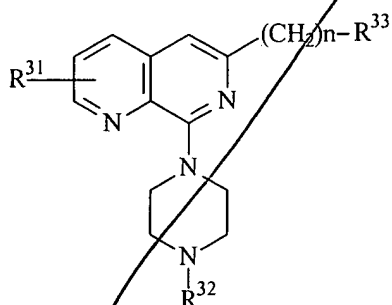
<sup>AMD</sup> 4. The condensed pyridine compound as claimed in Claim 1 [3], which is a compound represented by the following formula:



(wherein  $R^{31}$  has the same meaning as that of the above  $R^1$ ,  $R^{32}$  has the same meaning as that of the above  $R^2$  and  $R^{33}$  has the same meanings as that of the above B, respectively), its pharmacologically acceptable salt or hydrates thereof.

CANCEL

~~5. The condensed pyridine compound as claimed in Claim 3, which is a compound selected from the compound represented by the following formulae:~~



(wherein  $R^{31}$  has the same meaning as that of the above  $R^1$ ,  $R^{32}$  has the same meaning as that of the above  $R^2$  and  $R^{33}$  has the same meanings as that of the above B, respectively), its

~~pharmacologically acceptable salt or hydrates thereof.~~

6. <sup>Amo</sup> The condensed pyridine compound as claimed in Claim 4

(3), which is a compound selected from the following group:

(1)

3-(4-benzyloxybutyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(2)

1-(1-methylpiperazin-4-yl)-3-(4-methoxyphenyl)isoquinoline,

(3)

1-(1-methylpiperazin-4-yl)-3-[4-(2-hydroxyethoxy)phenyl]isoquinoline,

(4)

1-(4-ethylpiperazin-1-yl)-3-(4-trifluoromethylphenyl)isoquinoline,

(5)

1-(4-ethylpiperazin-1-yl)-3-[4-(1-fluoropropyl)phenyl]isoquinoline,

(6)

1-(4-ethylpiperazin-1-yl)-3-(2-hydroxyphenyl)isoquinoline,

(7)

1-(4-ethylpiperazin-1-yl)-3-(4-hydroxyphenyl)isoquinoline,

(8)

1-(4-ethylpiperazin-1-yl)-3-(2-methoxyphenyl)isoquinoline,

(9)

1-(4-ethylpiperazin-1-yl)-3-(3-methoxyphenyl)isoquinoline,

(10)

1-(4-ethylpiperazin-1-yl)-3-(4-methoxyphenyl)isoquinoline,

(11)

3-(2,3-dimethoxyphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(12)

3-(2,4-dimethoxyphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(13)

3-(2,5-dimethoxyphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(14)

3-(3,4-dimethoxyphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(15)

3-(3,5-dimethoxyphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(16)

1-(4-ethylpiperazin-1-yl)-3-(2,4,5-trimethoxyphenyl)isoquinoline,

(17)

1-(4-ethylpiperazin-1-yl)-3-(4-hydroxymethylphenyl)isoquinoline,

(18)

3-[2-(2-hydroxyethoxy)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(19)

1-(4-ethylpiperazin-1-yl)-3-[4-(1-hydroxypropyl)phenyl]isoquinoline,

uinoline,

(20)

1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxypropyl)phenyl]isoquinoline,

(21)

1-(4-ethylpiperazin-1-yl)-3-[4-(1-hydroxybutyl)phenyl]isoquinoline,

(22)

1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxybutyl)phenyl]isoquinoline,

(23)

3-[4-(4-hydroxybutyl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(24)

3-[4-(1,3-dihydroxypropyl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(25)

3-[4-(1,3-dihydroxy-3-methylbutyl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(26)

3-[4-(3-hydroxy-1-methoxybutyl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(27)

1-(1-ethylpiperazin-4-yl)-3-[4-(3-hydroxy-1-fluoropropyl)phenyl]isoquinoline,

(28)



1-(4-ethylpiperazin-1-yl)-3-[4-(4-hydroxymethyl-3-fluoro)phenyl]isoquinoline,

(29)

1-(4-ethylpiperazin-1-yl)-3-[3-fluoro-4-(1-hydroxypropyl)phenyl]isoquinoline,

(30)

3-[3-chloro-4-(3-hydroxypropyl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(31)

3-[3-carboxamide-4-(3-hydroxypropyl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(32)

3-[3-cyano-4-(3-hydroxypropyl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(33)

1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxypropyl)-3-methoxyphenyl]isoquinoline,

(34)

3-[3-(3-hydroxypropyl)-4-methoxyphenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(35)

3-[3-(4-hydroxybutyl)-4-methoxyphenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(36)

1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxyethoxy)phenyl]isoquinoline,

(37)

3-[3,4-(2-dihydroxyethoxy)phenyl]-1-(4-ethylpiperazin-1-yl)  
isoquinoline,

(38)

3-[3,5-(2-dihydroxyethoxy)phenyl]-1-(4-ethylpiperazin-1-yl)  
isoquinoline,

(39)

3-[3-chloro-4-(2-hydroxyethoxy)phenyl]-1-(4-ethylpiperazin-  
1-yl)isoquinoline,

(40)

3-[3-methyl-4-(2-hydroxyethoxy)phenyl]-1-(4-ethylpiperazin-  
1-yl)isoquinoline,

(41)

3-[3-isopropyl-4-(2-hydroxyethoxy)phenyl]-1-(4-ethylpiperaz  
in-1-yl)isoquinoline,

(42)

1-(4-ethylpiperazin-1-yl)-3-[4-(2-methoxyethoxy)phenyl]isoq  
uinoline,

(43)

3-[3,4-(2-dimethoxyethoxy)phenyl]-1-(4-ethylpiperazin-1-yl)  
isoquinoline,

(44)

3-[4-(2-hydroxyethoxy)methylphenyl]-1-(4-ethylpiperazin-1-y  
l)isoquinoline,

(45)

1-(4-ethylpiperazin-1-yl)-3-[4-(2-fluoroethoxy)phenyl]isoqu

inoline,

(46)

3-(3,4-methylenedioxyphenyl)-1-(4-ethylpiperazin-1-yl)isoqu

inoline,

(47)

1-(1-ethylpiperazin-4-yl)-3-(4-acetonyloxyphenyl)isoquinoli

ne,

(48)

3-[4-(3-aminopropoxy)phenyl]-1-(4-ethylpiperazin-1-yl)isoqu

inoline,

(49)

1-(4-ethylpiperazin-1-yl)-3-[4-(2-dimethylaminoethoxy)pheny

l]isoquinoline,

(50)

3-[4-(3-acetamidopropoxy)phenyl]-1-(4-ethylpiperazin-1-yl)i

soquinoline,

(51)

3-(4-cyanomethoxyphenyl)-1-(4-ethylpiperazin-1-yl)isoquinol

ine,

(52)

3-[4-(2-cyanoethoxy)phenyl]-1-(4-ethylpiperazin-1-yl)isoqui

noline,

(53)

3-[4-(3-cyanopropoxy)phenyl]-1-(4-ethylpiperazin-1-yl)isoqu

inoline,

(54)

3-[4-(3-methylthiopropoxy)phenyl]-1-(4-ethylpiperazin-1-yl)  
isoquinoline,

(55)

3-[4-(3-methylsulfonpropoxy)phenyl]-1-(4-ethylpiperazin-1-yl)  
isoquinoline,

(56)

3-{4-[2-(1-imidazolyl)ethoxy]phenyl}-1-(4-ethylpiperazin-1-yl)  
isoquinoline,

(57)

3-{4-[3-(4-pyridyl)propoxy]phenyl}-1-(4-ethylpiperazin-1-yl)  
isoquinoline,

(58)

3-{4-[2-(2-methylpyridin-5-yl)ethoxy]phenyl}-1-(4-ethylpiperazin-1-yl)  
isoquinoline,

(59)

1-(1-ethylpiperazin-4-yl)-3-[4-(N-ethylcarbamyl)phenyl]isoquinoline,

(60)

(Z)-1-(4-ethylpiperazin-1-yl)-3-(4-hydroxyiminomethylphenyl)  
isoquinoline,

(61)

(E)-1-(4-ethylpiperazin-1-yl)-3-(4-hydroxyiminomethylphenyl)  
isoquinoline,

(62)

3-(4-cyanophenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(63)

1-(4-ethylpiperazin-1-yl)-3-(4-N-propylaminocarbonylphenyl)  
isoquinoline,

(64)

3-[4-(4-hydroxy-1-cyclohexen-1-yl)phenyl]-1-(4-ethylpiperaz  
in-1-yl)isoquinoline,

(65)

3-(4-aminophenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(66)

3-[4-(N,N-dimethylamino)phenyl]-1-(4-ethylpiperazin-1-yl)is  
oquinoline,

(67)

3-[4-(2-hydroxyethyl)aminophenyl]-1-(4-ethylpiperazin-1-yl)  
isoquinoline,

(68)

3-(4-acetamidophenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline

(69)

3-(4-ethylcarbonylaminophenyl)-1-(4-ethylpiperazin-1-yl)iso  
quinoline,

(70)

3-(4-propylcarbonylaminophenyl)-1-(4-ethylpiperazin-1-yl)is  
oquinoline,

(71)

3-(4-ethylsulfonylaminophenyl)-1-(4-ethylpiperazin-1-yl)iso  
quinoline,

(72)

3-(4-propylsulfonylaminophenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(73)

3-(4-butylsulfonylaminophenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(74)

3-(4-sulfonamidephenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(75)

3-[(4-morpholinyl)sulfonylphenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(76)

3-[(4-thiomorpholinyl)sulfonylphenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(77)

3-[(1,1-dioxythiomorpholinyl)sulfonylphenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(78)

3-(4-ethylsulfonylaminomethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(79)

3-(4-ethylsulfonylaminoethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(80)

3-(4-ethylaminosulfonylmethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(81)

3-(4-propylaminosulfonylmethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(82)

3-[4-(N,N-diethylamino)sulfonylmethylphenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(83)

3-[4-(tetrahydropyran-4-yl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(84)

3-[4-(5,6-dihydro-2H-pyran-4-yl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(85)

3-(4-ethylcarbonylaminomethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(86)

3-(4-propylcarbonylaminomethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(87)

3-(4-ethylaminocarbonylmethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(88)

3-(4-propylaminocarbonylmethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(89)

3-(4-butylaminocarbonylmethylphenyl)-1-(4-ethylpiperazin-1-

yl)isoquinoline,

(90)

3-(4-methylsulfonylmethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(91)

3-(3-chloro-4-ethylsulfonylaminomethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(92)

3-(3-chloro-4-propylsulfonylaminomethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(93)

3-(4-morpholinylmethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(94)

3-(4-thiomorpholinylmethylphenyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(95)

3-[4-(3-oxazolidinone)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(96)

3-[4-(4,4-ethylenedioxypiperidin-1-yl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(97)

1-(4-ethylpiperazin-1-yl)-3-[4-(4-hydroxypiperidin-1-yl)phenyl]isoquinoline,

(98)



1-(4-ethylpiperazin-1-yl)-3-[4-(4-methoxypiperidin-1-yl)phenyl]isoquinoline,

(99)

3-[2-(4-acetylpiperazin-1-yl)pyridin-5-yl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(100)

3-[4-(4-acetylpiperazin-1-yl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(101)

3-(2-methoxybenzyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(102)

3-[ $\alpha$ -methyl-(4-methoxybenzyl)]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(103)

3-[ $\alpha$ -hydroxy-(2-methoxybenzyl)]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(104)

3-[ $\alpha$ -hydroxy-(4-methoxybenzyl)]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(105)

3-[ $\alpha$ -methyl- $\alpha$ -hydroxy-(4-methoxybenzyl)]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(106)

1-(1-ethylpiperazin-4-yl)-3-(4-methoxyphenethyl)isoquinoline,

(107)

1-(1-ethylpiperazin-4-yl)-3-[2-(2-hydroxyethoxy)phenethyl]isoquinoline,

(108)

1-(1-ethylpiperazin-4-yl)-3-[3-(2-hydroxyethoxy)phenethyl]isoquinoline,

(109)

1-(1-ethylpiperazin-4-yl)-3-[4-(2-hydroxyethoxy)phenethyl]isoquinoline,

(110)

1-(1-ethylpiperazin-4-yl)-3-{3-[2-(2-hydroxyethoxy)phenyl]propyl}isoquinoline,

(111)

3-(2-methoxyphenylcarbonyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(112)

3-(4-methoxyphenylcarbonyl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(113)

3-(4-methoxyindan-1-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(114)

3-(6-methoxyindan-1-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(115)

3-[4-(2-hydroxyethoxy)indan-1-yl]-1-(4-ethylpiperazin-1-yl)

isoquinoline,

(116)

3-(8-methoxy-1,2-dihydronaphthalen-4-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(117)

3-(7-methoxy-1,2-dihydronaphthalen-4-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(118)

3-(6-methoxy-1,2-dihydronaphthalen-4-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(119)

3-(5-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(120)

3-(6-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(121)

3-(7-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(122)

3-(3-hydroxymethylchroman-6-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(123) 1-(4-ethylpiperazin-1-yl)-3-(2-pyridyl)isoquinoline,

(124)

1-(1-ethylpiperazin-4-yl)-3-[5-(2-hydroxyethoxy)pyridin-2-yl]isoquinoline,

(125)

1-(1-ethylpiperazin-4-yl)-3-[2-(2-hydroxyethoxy)pyridin-5-yl]isoquinoline,

(126)

1-(1-ethylpiperazin-4-yl)-3-[2-(2-methoxyethoxy)pyridin-5-yl]isoquinoline,

(127)

1-(1-ethylpiperazin-4-yl)-3-[5-(2-methoxyethoxy)pyridin-2-yl]isoquinoline,

(128)

3-(2-propylcarbonylaminopyridin-5-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(129)

3-[(2-methylsulfonylpyridin)-5-yl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(130)

3-[(2-ethylsulfonylpyridin)-5-yl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(131)

1-(1-ethylpiperazin-4-yl)-3-(2-butylpyridin-5-yl)isoquinoline,

(132)

1-(1-ethylpiperazin-4-yl)-3-[5-(3-fluoropropyl)pyridin-2-yl]isoquinoline,

(133)

1-(1-ethylpiperazin-4-yl)-3-[2-(3-fluoropropyl)pyridin-5-yl]

]isoquinoline,

(134)

1-(1-ethylpiperazin-4-yl)-3-[5-(3-hydroxypropyl)pyridin-2-yl]isoquinoline,

(135)

1-(1-ethylpiperazin-4-yl)-3-[2-(3-hydroxypropyl)pyridin-5-yl]isoquinoline,

(136)

1-(1-ethylpiperazin-4-yl)-3-[2-(3-hydroxybutyl)pyridin-5-yl]isoquinoline,

(137)

1-(1-ethylpiperazin-4-yl)-3-[2-(4-hydroxybutyl)pyridin-5-yl]isoquinoline,

(138)

1-(1-ethylpiperazin-4-yl)-3-[2-(3-hydroxy-3-methylbutyl)pyridin-5-yl]isoquinoline,

(139)

1-(1-ethylpiperazin-4-yl)-3-[2-(3-methoxypropyl)pyridin-5-yl]isoquinoline,

(140)

1-(1-ethylpiperazin-4-yl)-3-[3-(3-methoxypropyl)pyridin-5-yl]isoquinoline,

(141)

1-(1-ethylpiperazin-4-yl)-3-[5-(3-methoxypropyl)pyridin-2-yl]isoquinoline,

(142)

1-(1-ethylpiperazin-4-yl)-3-[2-(3-ethoxypropyl)pyridin-5-yl]isoquinoline,

(143)

1-(1-ethylpiperazin-4-yl)-3-[2-[3-(2-propoxy)propyl]pyridin-5-yl]isoquinoline,

(144)

1-(1-ethylpiperazin-4-yl)-3-[2-(3-methoxybutyl)pyridin-5-yl]isoquinoline,

(145)

1-(1-ethylpiperazin-4-yl)-3-{2-[2-(2-hydroxy-2-cyclohexyl)ethynyl]pyridin-4-yl}isoquinoline,

(146)

1-(1-ethylpiperazin-4-yl)-3-[2-(1-butyne)pyridin-5-yl]isoquinoline,

(147)

1-(4-ethylpiperazin-1-yl)-3-[2-(morpholin-4-yl)pyridin-5-yl]isoquinoline,

(148)

1-(4-ethylpiperazin-1-yl)-3-[5-(morpholin-4-yl)pyridin-2-yl]isoquinoline,

(149)

3-[2-(2,6-dimethylmorpholin-4-yl)pyridin-5-yl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(150)

1-(4-ethylpiperazin-1-yl)-3-[2-(thiomorpholin-4-yl)pyridin-5-yl]isoquinoline,

(151)

1-(4-ethylpiperazin-1-yl)-3-[2-(S-oxythiomorpholin-4-yl)pyridin-5-yl]isoquinoline,

(152)

1-(4-ethylpiperazin-1-yl)-3-[2-(4-hydroxypiperidin-1-yl)pyridin-5-yl]isoquinoline,

(153)

1-(4-ethylpiperazin-1-yl)-3-[2-(4-methoxypiperidin-1-yl)pyridin-5-yl]isoquinoline,

(154)

1-(4-ethylpiperazin-1-yl)-3-[2-(4-hydroxymethylpiperidin-1-yl)pyridin-5-yl]isoquinoline,

(155)

3-[2-(5,6-dihydro-2H-pyran-4-yl)pyridin-5-yl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(156)

3-[2-(tetrahydropyran-4-yl)pyridin-5-yl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(157)

3-[4-[3-(3-pyridyl)propoxy]phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(158)

1-(1-ethylpiperazin-4-yl)-3-(1-phenylpiperazin-4-yl)isoquinoline,

(159)

1-(1-ethylpiperazin-4-yl)-3-[1-(2-pyridyl)piperazin-4

-yl]isoquinoline,

(160)

3-[4-(4-morpholinyl)phenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(161)

1-(1-ethylpiperazin-4-yl)-3-(2-hydroxymethylthiophen-4-yl)isoquinoline,

(162)

1-(1-ethylpiperazin-4-yl)-3-(2-hydroxymethylthiophen-5-yl)isoquinoline,

(163)

1-(1-ethylpiperazin-4-yl)-3-[2-(2-hydroxyethyl)thiophen-5-yl]isoquinoline,

(164)

1-(1-ethylpiperazin-4-yl)-3-[2-(1-hydroxypropyl)thiophen-4-yl]isoquinoline,

(165)

1-(1-ethylpiperazin-4-yl)-3-[2-(1-hydroxypropyl)thiophen-5-yl]isoquinoline,

(166)

3-[2-(tetrahydropyran-4-yl)thiophen-5-yl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(167)

1-(1-ethylpiperazin-4-yl)-3-[4-methyl-5-(2-hydroxyethyl)thiazol-2-yl]isoquinoline,

(168)



1-(1-ethylpiperazin-4-yl)-3-(2-hydroxymethylthiazol-5-yl)isoquinoline,

(169)

1-(1-ethylpiperazin-4-yl)-3-[1-(2-hydroxyethyl)pyrazol-3-yl]isoquinoline,

(170)

1-(1-ethylpiperazin-4-yl)-3-[(1-hydroxypropyl)thiazol-5-yl]isoquinoline,

(171)

1-(1-ethylpiperazin-4-yl)-3-[2-(3-hydroxypropyl)thiazol-5-yl]isoquinoline,

(172)

1-(1-ethylpiperazin-4-yl)-3-[2-(3-methoxypropyl)thiazol-5-yl]isoquinoline,

(173)

1-(1-ethylpiperazin-4-yl)-3-[2-(4-morpholinyl)thiazol-5-yl]isoquinoline,

(174)

3-(2-propylcarbonylaminopyrimidin-5-yl)-1-(4-ethylpiperazin-1-yl)isoquinoline,

(175)

3-[2-(5,6-dihydro-2H-pyran-4-yl)thiophen-4-yl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(176)

3-[2-(5,6-dihydro-2H-pyran-4-yl)thiophen-5-yl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(177)

1-(1-ethylpiperazin-4-yl)-3-(phenylethynyl)isoquinoline,

(178)

1-(1-ethylpiperazin-4-yl)-3-(4-methoxyphenyl)ethynylisoquinoline,

(179)

1-(1-ethylpiperazin-4-yl)-3-(2-pyridyl)ethynylisoquinoline,

(180)

3-[3-(4-morpholinyl)-1-propynyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(181)

1-(1-ethylpiperazin-4-yl)-3-(3-phenyl-1-propynyl)isoquinoline,

(182)

1-(1-ethylpiperazin-4-yl)-3-(4-phenyl-1-butyne)isoquinoline,

(183)

1-(1-ethylpiperazin-4-yl)-3-[4-(2-hydroxyethoxy)phenylethynyl]isoquinoline,

(184)

1-(1-ethylpiperazin-4-yl)-3-benzoylthynylisoquinoline,

(185)

1-(1-ethylpiperazin-4-yl)-3-(2,4-dimethoxyphenylethynyl)isoquinoline,

(186)

1-(1-ethylpiperazin-4-yl)-3-[3-(3-methoxypropyl)-5-pyridyl]  
ethynylisoquinoline,

(187)

1-(1-ethylpiperazin-4-yl)-3-[2-(2-hydroxyethoxy)phenyl]ethy  
nylisoquinoline,

(188)

1-(1-ethylpiperazin-4-yl)-3-[3-(2-hydroxyethoxy)-2-pyridyl]  
ethynylisoquinoline,

(189)

1-(1-ethylpiperazin-4-yl)-3-(trans-2-phenylethenyl)isoquino  
line,

(190)

1-(1-ethylpiperazin-4-yl)-3-[trans-2-(4-methoxyphenyl)ethen  
yl]isoquinoline,

(191)

1-(1-ethylpiperazin-4-yl)-3-[cis-2-(4-methoxyphenyl)ethenyl  
]isoquinoline,

(192)

1-(1-ethylpiperazin-4-yl)-3-(cis-1-methyl-2-phenyleth  
enyl)isoquinoline,

(193)

1-(1-ethylpiperazin-4-yl)-3-(trans-1-methyl-2-phenylethenyl  
)isoquinoline,

(194)

1-(1-ethylpiperazin-4-yl)-3-[trans-2-(2-hydroxyethoxyphenyl  
)ethenyl]isoquinoline,

(195)

1-(1-ethylpiperazin-4-yl)-3-[trans-2-(2-methoxyphenyl)ethenyl]isoquinoline,

(196)

1-(1-ethylpiperazin-4-yl)-3-(trans-2-methyl-2-phenylethen-1-yl)isoquinoline,

(197)

1-(1-ethylpiperazin-4-yl)-3-[trans-2-(2-fluorophenyl)ethenyl]isoquinoline,

(198)

1-(1-ethylpiperazin-4-yl)-3-[(E)-4-(2-hydroxyethoxy)styryl]isoquinoline,

(199)

1-(1-ethylpiperazin-4-yl)-3-{trans-2-[3-(2-hydroxyethoxy)phenyl]ethenyl}isoquinoline,

(200)

3-{(E)-2-[2-(4-morpholinyl)pyridin-5-yl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,

(201)

3-[(E)-2-(4-methylsulfonylphenyl)ethenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(202)

3-[(E)-2-(2-methylsulfonylphenyl)ethenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(203)

3-[(E)-2-(4-methylsulfonylmethylphenyl)ethenyl]-1-(4-ethylp

iperazin-1-yl)isoquinoline,

(204)

3-{(E)-2-[3-(4-morpholinyl)phenyl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,

(205)

3-{(E)-2-[4-(4-morpholinyl)phenyl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,

(206)

3-{(E)-2-methyl-2-[4-(4-morpholinyl)phenyl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,

(207)

3-{(E)-2-methyl-2-[3-(4-morpholinyl)phenyl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,

(208)

3-[(E)-2-methoxymethyl-2-phenylethenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(209)

1-(1-ethylpiperazin-4-yl)-3-[trans-2-(2-pyridyl)ethenyl]isoquinoline,

(210)

1-(1-ethylpiperazin-4-yl)-3-[trans-2-(4-pyridyl)ethenyl]isoquinoline,

(211)

1-(1-ethylpiperazin-4-yl)-3-[3-(2-methoxy)phenyl-2-propenyl]isoquinoline,

(212)

1-(1-ethylpiperazin-4-yl)-3-[3-(2-hydroxyethoxy)phenyl-1-propenyl]isoquinoline,

(213)

3-{(E)-2-[2-(4-morpholinyl)pyridin-5-yl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,

(214)

3-{(E)-2-[3-(4-morpholinyl)pyridazin-6-yl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,

(215)

3-{(E)-2-[4-(4-morpholinyl)pyrimidin-6-yl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,

(216)

3-{(E)-2-[2-(4-morpholinyl)pyrazin-6-yl]ethenyl}-1-(4-ethylpiperazin-1-yl)isoquinoline,

(217)

3-[1-(4-methoxyphenyl)ethenyl]-1-(4-ethylpiperazin-1-yl)isoquinoline,

(218)

1-(1-ethylpiperazin-4-yl)-N-phenyl-3-isoquinolinecarboxamide,

(219)

1-(1-ethylpiperazin-4-yl)-3-(4-methoxyanilinomethyl)isoquinoline,

(220)

1-(1-ethylpiperazin-4-yl)-3-(4-methoxybenzylamino)isoquinoline,

(221)

1-(1-ethylpiperazin-4-yl)-4-methoxy-3-(4-methoxyphenyl)isoquinoline,

(222)

1-(1-ethylpiperazin-4-yl)-5-methyl-3-(4-methoxyphenyl)isoquinoline,

(223)

1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxyethoxy)phenyl]-6-fluoroisoquinoline,

(224)

1-(1-ethylpiperazin-4-yl)-6-fluoro-3-(4-methoxyphenyl)isoquinoline,

(225)

1-(1-ethylpiperazin-4-yl)-6-methyl-3-(4-methoxyphenyl)isoquinoline,

(226)

1-(4-ethylpiperazin-1-yl)-6-methoxy-3-(4-trifluoromethylphenyl)isoquinoline,

(227)

1-(4-ethylpiperazin-1-yl)-6-methoxy-3-(4-methoxyphenyl)isoquinoline,

(228)

1-(1-ethylpiperazin-4-yl)-7-methyl-3-(4-methoxyphenyl)isoquinoline,

(229)

1-(4-ethylpiperazin-1-yl)-7-fluoro-3-(4-methoxyphenyl)isoquinoline,

inoline,

(230)

1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxyethoxy)phenyl]-7-fluoroisoquinoline,

(231)

1-(4-ethylpiperazin-1-yl)-7-methoxy-3-phenylisoquinoline,

(232)

1-(4-ethylpiperazin-1-yl)-7-methoxy-3-(2-methoxyphenyl)isoquinoline,

(233)

1-(1-ethylpiperazin-4-yl)-8-fluoro-3-(4-methoxyphenyl)isoquinoline,

(234)

1-(1-ethylpiperazin-4-yl)-8-fluoro-3-[4-(2-hydroxyethoxy)phenyl]isoquinoline,

(235)

1-(1-ethylpiperazin-4-yl)-8-methoxy-3-(4-methoxyphenyl)isoquinoline,

(236)

1-(1-propylpiperazin-4-yl)-3-(4-methoxyphenyl)isoquinoline,

(237)

1-(1-propylpiperazin-4-yl)-3-[4-(2-hydroxyethoxy)phenyl]isoquinoline,

(238)

1-(1-isopropylpiperazin-4-yl)-3-(4-methoxyphenyl)isoquinoline,



uinoline,

(239)

1-(1-cyclopropylpiperazin-4-yl)-3-(4-methoxyphenyl)isoquinoline,

(240)

1-(1-allylpiperazin-4-yl)-3-(4-methoxyphenyl)isoquinoline,

(241)

1-[1-(2-fluoroethyl)piperazin-4-yl]-3-(4-methoxyphenyl)isoquinoline,

(242)

1-[4-(2-hydroxyethyl)piperazin-1-yl]-3-(4-methoxyphenyl)isoquinoline,

(243)

3-(4-ethylsulfonylaminomethylphenyl)-1-[4-(2-hydroxyethyl)piperazin-1-yl]isoquinoline,

~~(244)~~

~~8-(4-ethylpiperazin-1-yl)-6-(4-methoxyphenyl)pyrido[2,3-c]pyridine,~~

(245)

8-(1-ethylpiperazin-4-yl)-6-[4-(2-hydroxyethoxy)phenyl]-1,7-naphthyridine,

(246)

8-(1-ethylpiperazin-4-yl)-6-{4-[(S)-2-hydroxypropoxy]phenyl}-1,7-naphthyridine,

(247)

8-(1-ethylpiperazin-4-yl)-6-[4-(3-hydroxypropyl)phenyl]

1]-1,7-naphthyridine,

(248)

8-(1-ethylpiperazin-4-yl)-6-[4-(3-hydroxybutyl)phenyl]

]-1,7-naphthyridine,

(249)

8-(1-ethylpiperazin-4-yl)-6-[4-(3-hydroxy-1-fluoropropyl)phenyl]-1,7-naphthyridine,

(250)

8-(1-ethylpiperazin-4-yl)-6-[4-(2-hydroxy-2-methylpropoxy)phenyl]-1,7-naphthyridine,

(251)

1-(1-ethylpiperazin-4-yl)-3-(4-methoxyphenyl)-2,6-naphthyridine,

(252)

5-(1-ethylpiperazin-4-yl)-7-(4-methoxyphenyl)-1,6-naphthyridine,

(309)

1-(1-ethylpiperazin-4-yl)-3-[4-(2-hydroxy-2-methylpropoxy)phenyl]isoquinoline,

(310)

1-(1-ethylpiperazin-4-yl)-3-(3-phenyl-3-hydroxy-1-propynyl)isoquinoline,

(311)

1-(1-ethylpiperazin-4-yl)-8-methyl-3-(4-methoxyphenyl)isoquinoline,

(312)

1-(1-ethylpiperazin-4-yl)-4-methyl-3-(4-methoxyphenyl)isoquinoline,

(313)

1-[1-(2-cyanoethyl)piperazin-4-yl]-3-(4-methoxyphenyl)isoquinoline,

(314)

1-[1-(carbamoylmethyl)piperazin-4-yl]-3-(4-methoxyphenyl)isoquinoline,

(315)

1-(4-ethylsulfonylpiperazin-1-yl)-3-(4-methoxyphenyl)isoquinoline,

(318)

1-(4-ethylpiperazin-1-yl)-3-(4-methoxyphenyl)isoquinoline,

(319)

1-{N-[2-(2-dimethylamino)ethyl]-N-methylamino}-3-(4-methoxyphenyl)isoquinoline,

(320) 1-(4-morpholinyl)-3-(4-methoxyphenyl)isoquinoline,

(321)

1-(1-ethyl-2-pyrrolidinyl)methylamino-3-(4-methoxyphenyl)isoquinoline,

(322)

3-(4-methoxyphenyl)-1-[2-(2-pyridyl)ethyl]aminoisoquinoline,

(323)

1-[2-(4-morpholinyl)ethyl]amino-3-(4-methoxyphenyl)isoquinoline,

(324) 1-(1-imidazolyl)-3-(4-methoxyphenyl)isoquinoline,

(325)

1-[4-(piperidin-1-yl)piperidin-1-yl]-3-(4-methoxyphenyl)isoquinoline,

(326)

1-(1,4,5,6-tetrahydropyrimidin-1-yl)-3-(4-methoxyphenyl)isoquinoline,

(327)

1-(1-ethylhomopiperazin-4-yl)-3-(4-methoxyphenyl)isoquinoline,

(328)

3-(4-methoxyphenyl)-1-(4-ethylpiperazin-1-yl)methylisoquinoline,

(329)

1-(4-ethylpiperazin-1-yl)-3-[3-(2-hydroxyethoxy)phenyl]isoquinoline,

(330)

1-(4-ethylpiperazin-1-yl)-3-(4-ethoxyphenyl)isoquinoline,

(331)

1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxypropoxy)phenyl]isoquinoline,

(332)

1-(4-ethylpiperazin-1-yl)-3-(3,4-ethylenedioxyphenyl)isoquinoline,

(333)

1-(4-ethylpiperazin-1-yl)-3-[4-(3-methoxypropyl)phenyl]isoq

uinoline,

(334)

1-(4-ethylpiperazin-1-yl)-3-[4-(n-butyl)phenyl]isoquinoline,

(335)

1-(4-ethylpiperazin-1-yl)-3-(4-methoxypyridin-2-yl)isoquinoline,

(336)

1-(4-ethylpiperazin-1-yl)-3-[4-(1,3-dioxolan-2-ylmethoxy)phenyl]isoquinoline,

(337)

1-(4-ethylpiperazin-1-yl)-3-[4-(2,3-dihydroxypropoxy)phenyl]isoquinoline,

(338)

1-(4-ethylpiperazin-1-yl)-3-(4-carbamoylmethoxyphenyl)isoquinoline,

(339)

1-(4-ethylpiperazin-1-yl)-3-(4-trifluoromethoxyphenyl)isoquinoline,

(340)

1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxyethoxy)-3-methoxyphenyl]isoquinoline,

(341)

1-(4-ethylpiperazin-1-yl)-3-(4-methylthiophenyl)isoquinoline,

(342)

1-(4-ethylpiperazin-1-yl)-3-(4-methylsulfonylphenyl)isoquinoline,

(343)

1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxy-3-methoxypropoxy)phenyl]isoquinoline,

(344)

1-(4-ethylpiperazin-1-yl)-3-(2-methoxypyridin-5-yl)isoquinoline,

(345)

1-(4-ethylpiperazin-1-yl)-3-[4-(1-hydroxy-1-methylethyl)phenyl]isoquinoline,

(346)

1-(4-ethylpiperazin-1-yl)-3-{4-[N-(2-hydroxyethyl)carbamoyl]phenyl}isoquinoline,

(347)

1-(4-ethylpiperazin-1-yl)-3-{4-[N-(2-hydroxyethyl)sulfamoyl]phenyl}isoquinoline,

(348)

1-(4-ethylpiperazin-1-yl)-3-[4-(1-hydroxyethyl)phenyl]isoquinoline,

(349)

1-(4-ethylpiperazin-1-yl)-3-[4-(propylsulfonyl)phenyl]isoquinoline,

(350)

1-(4-ethylpiperazin-1-yl)-3-{4-[(3-methoxypropyl)sulfonyl]phenyl}isoquinoline,

(351)

1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxyethyl)phenyl]isoquinoline,

(352)

1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxypropyl)sulfonylphenyl]isoquinoline,

(353)

1-(4-ethylpiperazin-1-yl)-3-[4-(N-propylsulfamoyl)phenyl]isoquinoline,

(354)

1-(4-ethylpiperazin-1-yl)-3-[4-[N-(2-methoxyethyl)sulfamoyl]phenyl]isoquinoline,

(355)

1-(4-ethylpiperazin-1-yl)-3-[4-(3-fluoropropyl)sulfonylphenyl]isoquinoline,

(356)

1-(4-ethylpiperazin-1-yl)-3-[4-(pyrrolidin-1-yl)sulfonylphenyl]isoquinoline,

(357)

1-(4-ethylpiperazin-1-yl)-3-[4-(N-ethylsulfamoyl)phenyl]isoquinoline,

(358)

1-(4-ethylpiperazin-1-yl)-3-[4-(N-methyl-N-propylsulfamoyl)phenyl]isoquinoline,

(359)

1-(4-ethylpiperazin-1-yl)-3-[4-(N,N-diethylsulfamoyl)phenyl]

]isoquinoline,

(360)

1-(4-ethylpiperazin-1-yl)-3-{4-[N-(1-methylpropyl)sulfamoyl]  
phenyl}isoquinoline,

(361)

1-(4-ethylpiperazin-1-yl)-3-[4-(N-methylsulfamoyl)phenyl]  
isoquinoline,

(362)

1-(4-ethylpiperazin-1-yl)-3-[4-(N,N-dimethylsulfamoyl)phenyl]  
isoquinoline,

(363)

1-(4-ethylpiperazin-1-yl)-3-[4-(N-cyclopropylsulfamoyl)phenyl]  
isoquinoline,

(364)

1-(4-ethylpiperazin-1-yl)-3-[4-(N-ethylcarbamoyl)phenyl]iso  
quinoline,

(365)

1-(4-ethylpiperazin-1-yl)-3-[4-(N-methylcarbamoyl)phenyl]is  
oquinoline,

(366)

1-(4-ethylpiperazin-1-yl)-3-[4-(ethylsulfonyl)phenyl]isoqui  
noline,

(367)

1-(4-ethylpiperazin-1-yl)-3-(3-fluoro-4-methoxyethoxyphenyl)  
)isoquinoline,

(368)



1-(4-ethylpiperazin-1-yl)-3-(3,5-difluoro-4-methoxyethoxyphenyl)isoquinoline,

(369)

1-(4-ethylpiperazin-1-yl)-3-[3-fluoro-4-(2-hydroxyethoxy)phenyl]isoquinoline,

(370)

1-(4-ethylpiperazin-1-yl)-3-[3,5-difluoro-4-(2-hydroxyethoxy)phenyl]isoquinoline,

(371)

1-(4-ethylpiperazin-1-yl)-3-[3,5-difluoro-4-(2-fluoroethoxy)phenyl]isoquinoline,

(372)

1-[4-(2-hydroxyethyl)piperazin-1-yl]-3-[4-(N-ethylsulfamoyl)phenyl]isoquinoline,

(373)

1-[4-(2-hydroxyethyl)piperazin-1-yl]-3-[4-(propylsulfonyl)phenyl]isoquinoline,

(374) 1-(4-ethylpiperazin-1-yl)-3-(phenylthio)isoquinoline,

(375)

1-(4-ethylpiperazin-1-yl)-3-[4-(2-oxopropyl)phenyl]isoquinoline,

(376)

1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxypropyl)phenyl]isoquinoline,

(377)

1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxy-2-methylpropyl)phenyl]isoquinoline,

enyl]isoquinoline,

(378)

1-(4-ethylpiperazin-1-yl)-3-(2-pyridylthio)isoquinoline,

(379)

1-(4-ethylpiperazin-1-yl)-3-(4-butyrylphenyl)isoquinoline,

(380)

1-(4-ethylpiperazin-1-yl)-3-[4-(1-hydroxyiminobutyl)phenyl]  
isoquinoline,

(381)

1-(4-ethylpiperazin-1-yl)-3-[4-(N-methyl-N-propylcarbamoyl)  
phenyl]isoquinoline,

(382)

1-(4-ethylpiperazin-1-yl)-3-{4-[N-(2-hydroxyethyl)-N-methyl  
carbamoyl]phenyl}isoquinoline,

(383)

1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxy-1-methylpropyl)ph  
enyl]isoquinoline,

(384)

1-(4-ethylpiperazin-1-yl)-3-[4-(N-propylcarbamoyl)-3-fluoro  
phenyl]isoquinoline,

(385)

1-(4-ethylpiperazin-1-yl)-3-[3-fluoro-4-(2-hydroxyethyl)phe  
nyl]isoquinoline,

(386)

1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxy-2-methylpropyl)ph  
enyl]isoquinoline,

(387)

1-(4-ethylpiperazin-1-yl)-3-[4-(1,2-dihydroxyethyl)phenyl]isoquinoline,

(388)

1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxy-3-methylbutyl)phenyl]isoquinoline,

(389)

1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxy-2,2-dimethylpropyl)phenyl]isoquinoline,

(390)

1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxy-1,1-dimethylpropyl)phenyl]isoquinoline,

(391)

1-(4-ethylpiperazin-1-yl)-3-[4-(1,3-dihydroxy-2,2-dimethylpropyl)phenyl]isoquinoline,

(392)

1-(4-ethylpiperazin-1-yl)-3-{4-[4-(2-hydroxyethyl)tetrahydropyran-4-yl]phenyl}isoquinoline,

(393)

1-(4-ethylpiperazin-1-yl)-3-(2-hydroxymethylindan-5-yl)isoquinoline,

(394)

1-(4-ethylpiperazin-1-yl)-3-[(3,4-dihydroxymethyl)phenyl]isoquinoline,

(395)

1-(4-ethylpiperazin-1-yl)-3-[4-(1,4-dioxan-2-yl)phenyl]isoq

uinoline,

(396)

1-(4-ethylpiperazin-1-yl)-3-[4-(tetrahydrofuran-2-yl)phenyl]  
]isoquinoline,

(397)

1-(4-ethylpiperazin-1-yl)-3-[4-(cis-4-hydroxycyclohexyl)phenyl]  
]isoquinoline,

(398)

1-(4-ethylpiperazin-1-yl)-3-[4-(trans-4-hydroxycyclohexyl)phenyl]  
]isoquinoline,

(399)

1-(4-ethylpiperazin-1-yl)-3-[4-(tetrahydropyran-4-yl)methylphenyl]  
]isoquinoline,

(400)

4-chloro-1-(4-ethylpiperazin-1-yl)-3-(4-methoxyphenyl)isoquinoline,

(401)

1-(4-ethylpiperazin-1-yl)-3-[4-(cis-4-hydroxytetrahydropyran-2-yl)phenyl]  
]isoquinoline,

(402)

1-(4-ethylpiperazin-1-yl)-3-[4-(trans-4-hydroxytetrahydropyran-2-yl)phenyl]  
]isoquinoline,

(403)

1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxypropoxy)phenyl]isoquinoline,

(404)

1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxy-1-methylethoxy)phenyl]isoquinoline,

(405)

1-(4-ethylpiperazin-1-yl)-3-(2-methoxypyridin-4-yl)isoquinoline,

(406)

1-(4-ethylpiperazin-1-yl)-3-(2-benzyloxypyridin-4-yl)isoquinoline and

1-(4-ethylpiperazin-1-yl)-3-(2-chloropyridin-4-yl)isoquinoline,

(407)

1-(4-ethylpiperazin-1-yl)-3-[2-(2-methoxyethoxy)pyridin-4-yl]isoquinoline,

(408)

1-(4-ethylpiperazin-1-yl)-3-(4-carbamoylphenyl)isoquinoline,

(409)

1-(4-ethylpiperazin-1-yl)-3-[4-(cyclohexylhydroxymethyl)phenyl]isoquinoline,

(410)

1-(4-ethylpiperazin-1-yl)-3-[4-(4-hydroxytetrahydropyran-4-yl)phenyl]isoquinoline,

(411)

1-(4-ethylpiperazin-1-yl)-3-{4-[bis(1,3-thiazol-2-yl)hydroxymethyl]phenyl}isoquinoline,

(412)

1-(4-ethylpiperazin-1-yl)-3-[4-(1,3-thiazol-2-yl)hydroxymethylphenyl]isoquinoline,

(413)

1-(4-ethylpiperazin-1-yl)-3-[(3-pyridyl)hydroxymethyl]isoquinoline,

(414)

1-(4-ethylpiperazin-1-yl)-3-(1-indanon-5-yl)isoquinoline,

(415)

1-(4-ethylpiperazin-1-yl)-3-(1-hydroxyindan-5-yl)isoquinoline,

(416)

1-(4-ethylpiperazin-1-yl)-3-[4-(3-hydroxy-3-methylbutyl)-3-fluorophenyl]isoquinoline,

(417)

1-(4-ethylpiperazin-1-yl)-3-[3-cyano-4-(2-hydroxyethoxy)phenyl]isoquinoline,

(418)

1-(4-ethylpiperazin-1-yl)-3-[3-(3-hydroxypropyl)phenyl]isoquinoline,

(419) 1-(4-ethylpiperazin-1-yl)-3-benzylisoquinoline,

(420)

1-(4-ethylpiperazin-1-yl)-3-(2-hydroxy-2-phenylethyl)isoquinoline,

(421)

3-benzamide-1-(4-ethylpiperazin-1-yl)isoquinoline,

(422)

3-benzenesulfonamide-1-(4-ethylpiperazin-1-yl)isoquinoline,  
(423)

1-(4-ethylpiperazin-1-yl)-3-(4-methoxybenzenesulfonamide)isoquinoline,  
(424)

1-(4-ethylpiperazin-1-yl)-3-(4-methoxyphenoxymethyl)isoquinoline,  
(425)

1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxyethoxy)-2-methoxyphenyl]isoquinoline,  
(426)

1-(4-ethylpiperazin-1-yl)-3-[4-(2-hydroxycyclohexyloxy)phenyl]isoquinoline,  
(427) *2nd*

4-(4-ethylpiperazin-1-yl)-2-(4-methoxyphenyl)quinazoline,  
and  
(428)

~~1-(4-ethylpiperazin-1-yl)-3-(4-methoxyphenyl)-7-azaisoquinoline.~~

*AND*  
7. *A* (medicinal) *pharmaceutical* composition which comprises a pharmaceutically effective dose of the condensed pyridine compound as claimed in Claim 1, its pharmaceutically acceptable salt or hydrates thereof, and pharmaceutically acceptable carriers.

*AND*  
8. *A pharmaceutical composition* (An agent) for treating, or ameliorating [or preventing] diseases against which serotonin antagonism is efficacious,

which comprises the condensed pyridine compound as claimed in Claim 1, its pharmaceutically acceptable salt or hydrates thereof as the active ingredient.

9. <sup>AND</sup> ~~A~~ <sup>A pharma to a composition</sup> (An agent) for treating <sup>or</sup> ameliorating (or preventing) spastic paralysis, which comprises the condensed pyridine compound as claimed in Claim 1, its pharmaceutically acceptable salt or hydrates thereof as the active ingredient.

10. <sup>AND</sup> ~~A~~ <sup>pharmaceutically composition for use as a</sup> muscle relaxant, which comprises the condensed pyridine compound as claimed in Claim 1, its pharmaceutically acceptable salt or hydrates thereof as the active ingredient.

11. A method for treating diseases against which serotonin antagonism is efficacious, <sup>for treating</sup> or spastic paralysis, or <sup>for treating</sup> ameliorating myotonia, which comprising the step of administering <sup>to a patient</sup> a pharmaceutically effective dose of the condensed pyridine compound as claimed in Claim 1, its pharmaceutically acceptable salt or hydrates thereof <sup>(to a patient)</sup>.